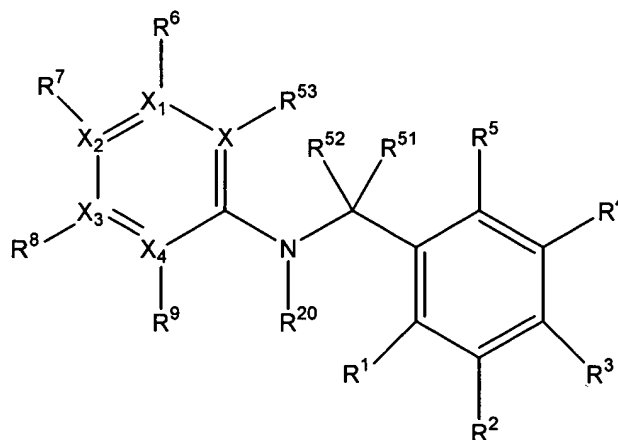


CLAIMS:

1. (currently amended) A compound of Formula I:

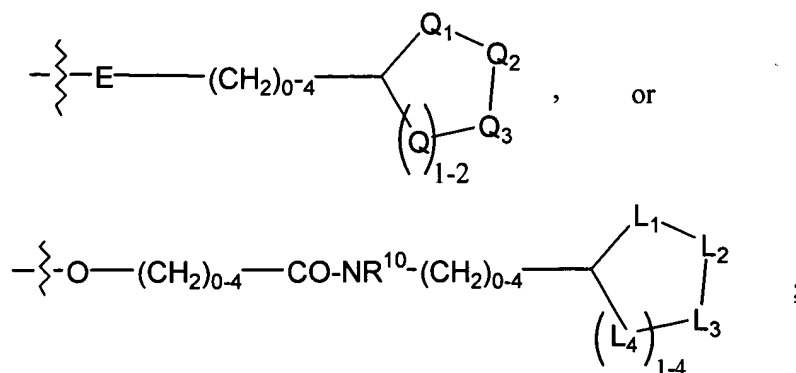


Formula I

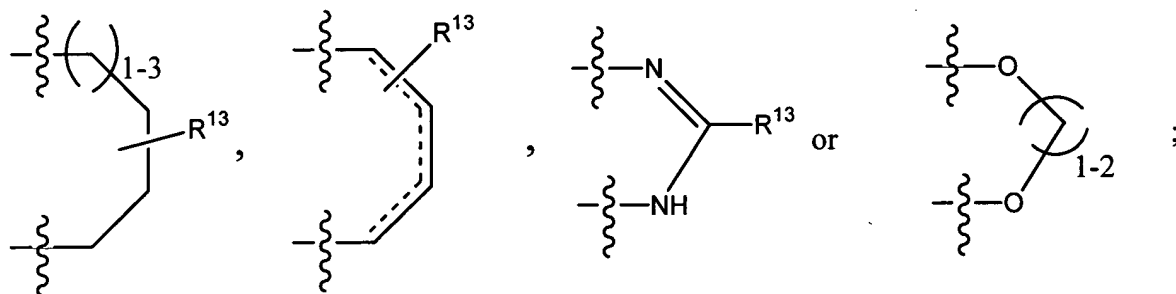
its prodrug form or a pharmaceutically acceptable salt thereof, wherein:

R¹ represents OH, COOH, COO-C₁₋₄ alkyl, CH₂OR¹⁰, SO₂-OH, O-SO₂-OH, O-SO₂-OC₁₋₄ alkyl, OP(O)(OH)₂, or OPO₃C₁₋₄ alkyl;
R², R³, R⁴, and R⁵ independently at each occurrence represent H, SH, OR¹⁰, halogen, COOR¹⁰, CONR¹¹R¹², optionally substituted heterocyclyl, C₄₋₁₄ cycloalkyl-C₁₋₄ alkyl, C₁₋₄ alkyl aryl, optionally substituted C₁₋₁₄ straight chain, branched or cyclo alkyl, NR¹⁰R²⁴, 4-carbamimidoylphenylazo, (2-morpholin-4-ylethylcarbamoyl)methoxy, 4-carbamimidoyl-phenylcarbamoyl, N=CH-N(CH₃)₂, 1,3-dioxo-1,3-dihydroisoindol-2-yl, toluene-4-sulfonylamino, 3-(4-carbamimidoylphenylcarbamoyl)-4-hydroxyphenylsulfanyl, O(CH₂)₅COOC₂H₅, O(CH₂)₅COOH, (CH₂)₁₋₄-NR³³R³⁴, (CH₂)₁₋₄-COOR³³, O-(CH₂)₁₋₃-CO-het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₀₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂-C(O)-NR³³R³⁴, O-(CH₂)₁₋₄-COOR¹⁰, O-(CH₂)₁₋₃-het-R³², O-optionally substituted cycloalkyl, O-(CH₂)₁₋₄-NR¹⁰-COO-*t*-butyl, O-(CH₂)₁₋₄-NR¹⁰R³³, O-(CH₂)₁₋₄-NR¹⁰-C(O)-C₀₋₃-alkyl-optionally substituted aryl, O-(CH₂)₀₋₆-optionally substituted aryl, (CH₂)₁₋₄-NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-(CH₂)₀₋₄-C(O)-NH-

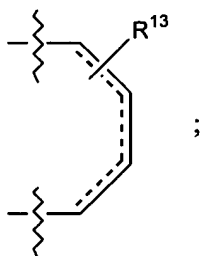
tetrahydro carboline, SO_3H , $\text{CH}(\text{OH})\text{COOR}^{10}$, $\text{NR}^{10}\text{R}^{28}$, $\text{O}-(\text{CH}_2)_{1-3}$ -optionally substituted het, $\text{CH}_2\text{COOCH}_3$, $\text{CH}=\text{CH}-\text{COOCH}_3$,



alternatively R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 taken together form



R⁶, R⁹ and R⁵³ independently at each occurrence represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, O-aryl or OR¹¹;
alternatively R⁶ and R⁵³ taken together form



~~R⁷ and R⁸ independently at each occurrence represent OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, cyano, or a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of R⁷ and R⁸ represent a basic group;~~

R⁷ is a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine and R⁸ is OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano; or, alternatively, R⁸ is a basic group selected from guanidino, NH(CH=NH)NH₂, C(=NH)N(R¹⁰)₂, C(=NH)-NH-NH₂, C(=O)N(R¹⁰)₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, C(O)CH₂NH₂, C(O)NHCH₂CN, NHCH₂CN, and thiazolidin-3-yl-methylideneamine and R⁷ is OH, CF₃, H, COOH, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, O-aryl, halogen, or cyano;

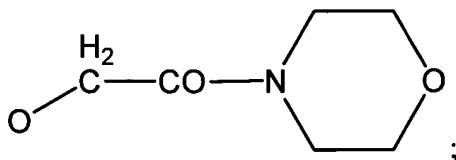
R¹⁰ independently at each occurrence represents H, (CH₂)₀₋₂-aryl, C₁₋₄ halo alkyl, or C₁₋₁₄ straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R¹⁰ groups, the atom along with the R¹⁰ groups can form a five to 10 membered ring structure;

X is carbon;

X₁, X₂, X₃ and X₄ independently at each occurrence represent a carbon or a nitrogen atom;

R¹¹ and R¹² independently at each occurrence represent H or C₁₋₄ alkyl;

R¹³ represents H, OH, bromo, methyl, OC₁₋₄ alkyl, OAr, OC₅₋₁₀ cycloalkyl, OCH₂CN, O(CH₂)₁₋₂NH₂, OCH₂COO-C₁₋₄ alkyl or



R^{20} represents H or OH;

R^{24} represents R^{10} , $(CH_2)_{1-4}$ -optionally substituted aryl, $(CH_2)_{0-4}OR^{10}$, $CO-(CH_2)_{1-2}-N(R^{10})_2$, $CO(CH_2)_{1-4}-OR^{10}$, $(CH_2)_{1-4}-COOR^{10}$, $(CH_2)_{0-4}-N(R^{10})_2$, SO_2R^{10} , COR^{10} , $CON(R^{10})_2$, $(CH_2)_{0-4}$ -aryl- $COOR^{10}$, $(CH_2)_{0-4}$ -aryl- $N(R^{10})_2$, or $(CH_2)_{1-4}$ -het-aryl;

R^{28} represents $(CH_2)_{1-2}$ -Ph-O- $(CH_2)_{0-2}$ -het- R^{30} , C(O)-het, CH_2 -Ph- CH_2 -het- $(R^{30})_{1-3}$; $(CH_2)_{1-4}$ -cyclohexyl- R^{31} , CH_2 -Ph-O-Ph- $(R^{30})_{1-2}$, CH_2 -(CH_2OH)-het- R^{30} , CH_2 -Ph-O-cycloalkyl- R^{31} , CH_2 -het-C(O)- CH_2 -het- R^{30} , or CH_2 -Ph-O- (CH_2) -O-het- R^{30} ;

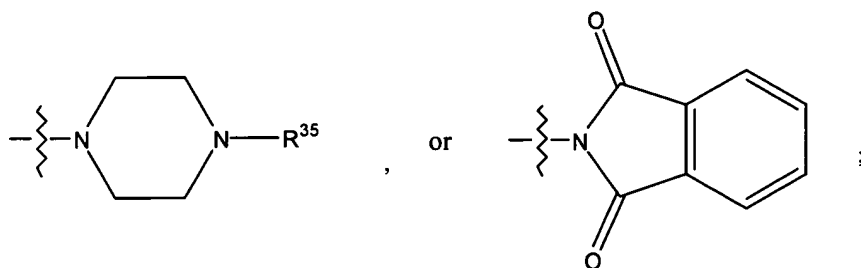
R^{30} represents $SO_2N(R^{10})_2$, H, NHOH, amidino, or $C(=NH)CH_3$;

R^{31} represents R^{30} , amino-amidino, $NH-C(=NH)CH_3$ or R^{10} ;

R^{32} represents H, C(O)- CH_2 - NH_2 , or C(O)-CH($CH(CH_3)_2$)- NH_2 ;

R^{33} and R^{34} independently at each occurrence represent R^{10} , $(CH_2)_{0-4}$ -Ar, optionally substituted aryl, $(CH_2)_{0-4}$ optionally substituted heteroaryl, $(CH_2)_{1-4}$ -CN, $(CH_2)_{1-4}-N(R^{10})_2$, $(CH_2)_{1-4}$ -OH, $(CH_2)_{1-4}$ - $SO_2-N(R^{10})_2$;

alternatively, R^{33} and R^{34} along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-Dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,



R^{35} represents R^{10} , SO_2-R^{10} , COR^{10} , or $CONHR^{10}$;

E represents a bond, $S(O)_{0-2}$, O or NR^{10} ;

Q , Q^1 , Q^2 , Q^3 , L^1 , L^2 , L^3 and L^4 independently at each occurrence represent N-natural amino acid side chain, CHR^{10} , O , NH , $S(O)_{0-2}$, $N-C(O)-NHR^{10}$, $SO_2-N(R^{10})_2$, $N-C(O)-NH-(CH_2)_{1-4}-R^{26}$, NR^{10} , N-heteroaryl, $N-C(=NH)-NHR^{10}$, or $N-C(=NH)C_{1-4}$ alkyl;

R^{26} represents OH , NH_2 , or SH ;

R^{51} and R^{52} independently represent $COOH$, CH_2OH , CH_2COOH , $COOR$, CH_2COOR , alkyl or $CO-NH_2$; alternatively

R^{51} and R^{52} taken together represent $=O$, $=S$, $=CH_2$ or $=NR^{10}$;

~~R^{53} represents H, halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2 , O-aryl or OR^{4+} ;~~

with the proviso that at least two of X_1 , X_2 , X_3 and X_4 represent a carbon atom, and when any of X_1 , X_2 , X_3 and X_4 represent a nitrogen atom the corresponding substituent does not exist.

2. (original) A compound of Claim 1 wherein

R^1 represents OH or $COOH$;

R^{20} represents H ;

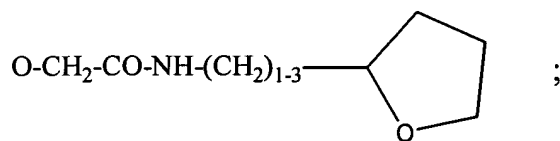
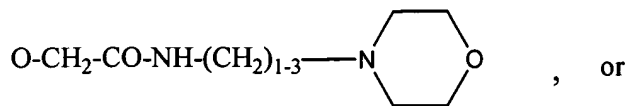
R^{51} and R^{52} taken together form $=O$; and

X_1 , X_2 , X_3 , and X_4 represent C .

3. (original) A compound of Claim 2 wherein:

R^2 represents halo, H , $NH-CO-Ph$, *i*-propyl, OH , OCH_3 , OC_2H_5 , $CH(OH)COOH$, *O-I*-propyl, SO_3H , NH_2 , $CH(OH)COOC_{1-2}$ alkyl, CH_3 , NO_2 or Ph ;

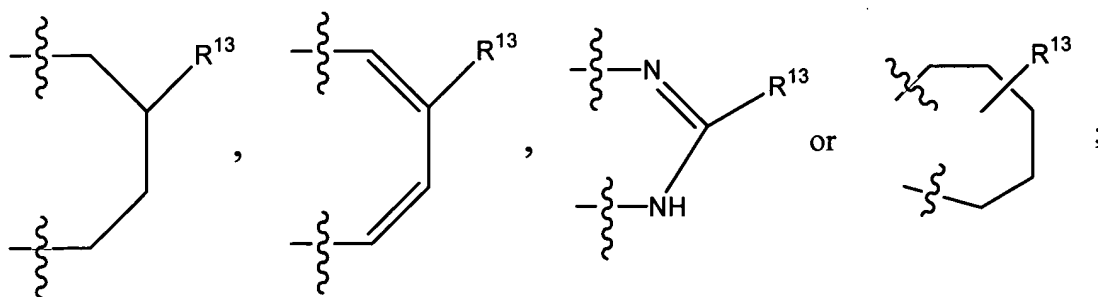
R^3 represents H , OH , NH_2 , OC_{1-4} alkyl, C_{1-4} alkyl, $NHCH_3$, $O-(CH_2)_{1-3}-OCO-C_{1-2}$ alkyl, $NH-C(O)C_{1-2}$ alkyl, $O-(CH_2)_{1-2}-CO-NH_2$, Ph , $NHCOCF_3$, $N=CH-N(CH_3)_2$, $O-CH_2-CO-NH-(CH_2)_{1-3}-Ph$,



R^4 represents H, C_{1-4} alkyl, halogen, *i*-propyl, OH, NH_2 3-nitro-phen-1-yl, NH-CO-CH_3 , $\text{CH}_2\text{-NH-(CH}_2\text{)}_3\text{-Ph}$, 2,4-difluoro-phen-1-yl, NHCOCF_3 , benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R^5 represents H or OH;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



R^6 represents H;

R^7 represents C(=NH)-NH_2 or NH-C(=NH)-NH_2 ;

R^8 represents H or halogen; and

R^9 represents H.

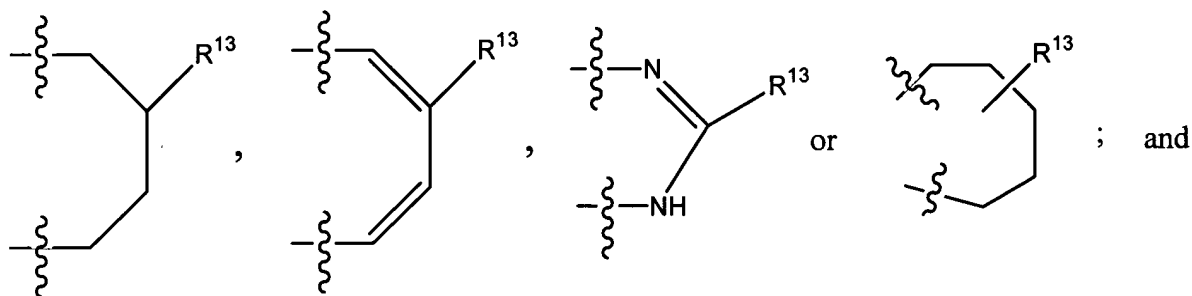
4. (original) A compound of claim 3 wherein

R^2 represents halo, H, NH-CO-Ph , *i*-propyl, OH, CH_3 , or NO_2 ;

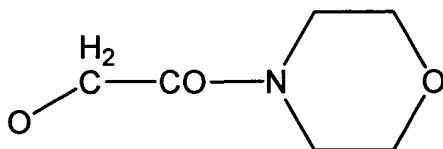
R^3 represents H, OH, NH_2 , OC_{1-2} alkyl, C_{1-4} alkyl, $\text{O}-(\text{CH}_2)_{1-3}-\text{OCO}-\text{C}_{1-2}$ alkyl, $\text{NH}-\text{C}(\text{O})\text{CH}_3$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}_2$, Ph, NHCOCF_3 , $\text{N}=\text{CH}-\text{N}(\text{CH}_3)_2$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}-(\text{CH}_2)_2-\text{Ph}$;

R^4 represents H, CH_3 , methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, NHCOCF_3 , benzo[1,3]dioxol-5-yl, NHCOCH_3 , 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



R^{13} represents C_{1-2} alkyl, OH, $\text{O}(\text{CH}_2)_{1-2}-\text{NH}_2$, H, or

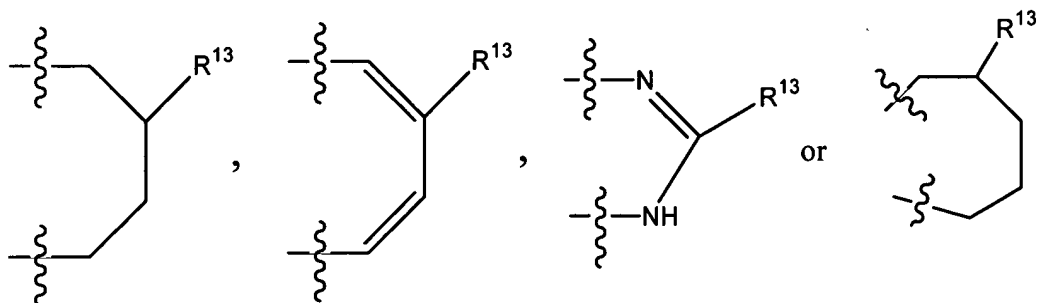


5. (original) A compound of Claim 4 wherein

R^3 represents H, OH, NH_2 , OC_{1-2} alkyl, C_{1-4} alkyl, $\text{O}-\text{CH}_2-\text{OCO}-\text{CH}_3$, $\text{NH}-\text{C}(\text{O})\text{CH}_3$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}_2$;

R^4 represents H, CH_3 , halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



6. (original) A compound of Claim 5 wherein

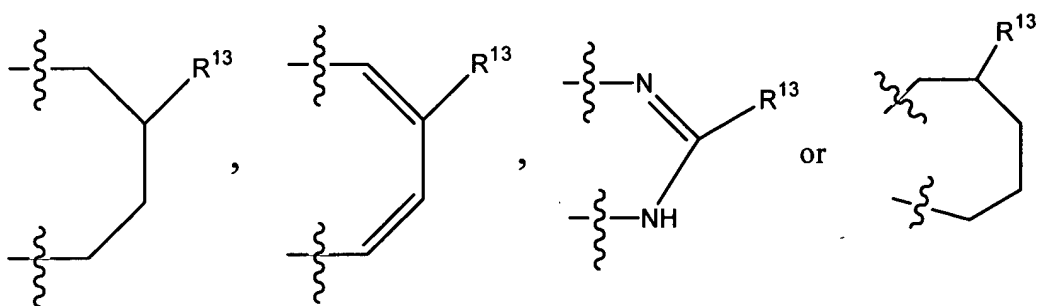
R^2 represents H or halogen;

R^3 represents H, OH or NH_2 ;

R^4 represents H, CH_3 , halogen or benzo[1,3]dioxol-5-yl;

R^5 represents H; or

R^3 and R^4 or taken together to form



7. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of (i) a compound; or (ii) a pharmaceutically acceptable salt of a compound of Claim 1.

8. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 4.

9. (withdrawn)

10. (original) A compound of Claim 6, wherein the compound is selected from:

N-(4-Carbamimidoyl-phenyl)-2-hydroxy-3-iodo-5-methyl-benzamide;

3,5-Dibromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-benzamide;

5-Bromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-3-iodo-benzamide;

3-Hydroxy-naphthalene-2-carboxylic acid (6-guanidino-pyridin-3-yl)-amide; and

3-Hydroxy-7-methoxy-naphthalene-2-carboxylic acid (4-guanidino-phenyl)-amide.

11. (original) A compound of Claim 1 wherein

R¹ represents OH or COOH;

R²⁰ represents H;

R⁵¹ and R⁵² taken together form =O;

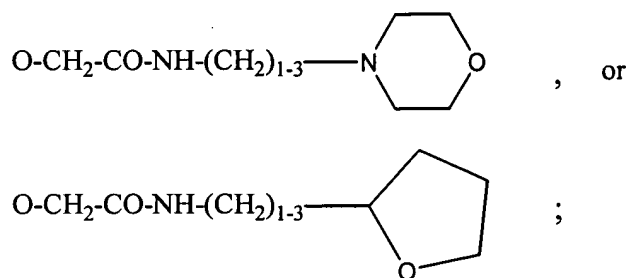
X₁ represents N; and

X₂, X₃, and X₄ represent C.

12. (original) A compound of Claim 1 wherein

R² represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH₃, NO₂ or Ph;

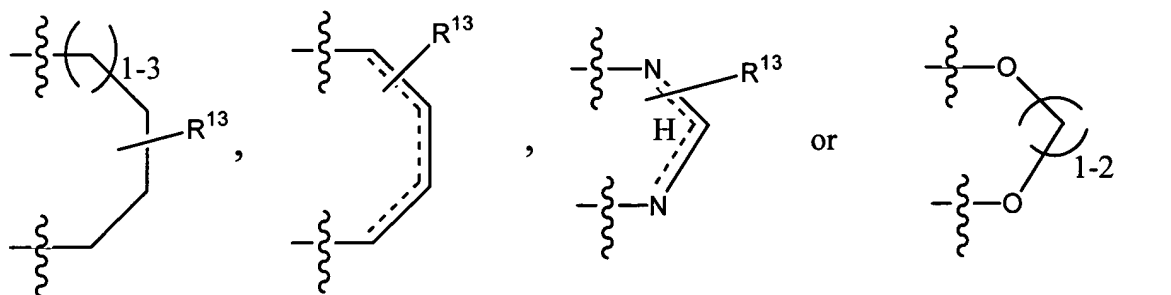
R³ represents H, OH, NH₂, OC₁₋₄ alkyl, C₁₋₄ alkyl, O-(CH₂)₁₋₃-OCO-C₁₋₂ alkyl, NH-C(O)C₁₋₂ alkyl, O-(CH₂)₁₋₂-CO-NH₂, Ph, NHCOCF₃, N=CH-N(CH₃)₂, O-CH₂-CO-NH-(CH₂)₁₋₃-Ph,



R^4 represents H, C_{1-4} alkyl, halogen, *i*-propyl, OH, NH_2 3-nitro-phen-1-yl, NH-CO-CH_3 , $\text{CH}_2\text{-NH-(CH}_2\text{)}_3\text{-Ph}$, 2,4-difluoro-phen-1-yl, NHCOCF_3 , benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R^5 represents H or OH;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



R^6 represents H;

R^7 represents C(=NH)-NH_2 or NH-C(=NH)-NH_2 ;

R^8 represents H or halogen; and

R^9 represents H.

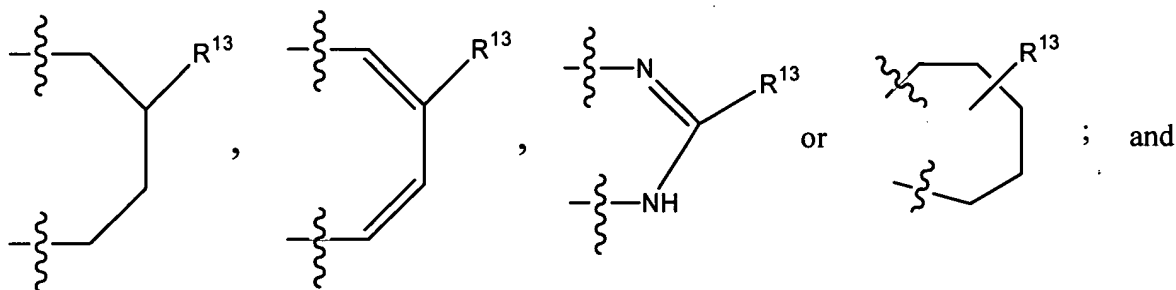
13. (original) A compound of claim 12 wherein

R^2 represents halo, H, NH-CO-Ph , *i*-propyl, OH, CH_3 , or NO_2 ;

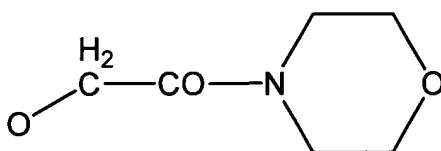
R^3 represents H, OH, NH_2 , OC_{1-2} alkyl, C_{1-4} alkyl, $\text{O}-(\text{CH}_2)_{1-3}-\text{OCO}-\text{C}_{1-2}$ alkyl, $\text{NH}-\text{C}(\text{O})\text{CH}_3$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}_2$, Ph, NHCOCF_3 , $\text{N}=\text{CH}-\text{N}(\text{CH}_3)_2$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}-(\text{CH}_2)_2-\text{Ph}$;

R^4 represents H, CH_3 , methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, NHCOCF_3 , benzo[1,3]dioxol-5-yl, NHCOCH_3 , 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



R^{13} represents C_{1-2} alkyl, OH, $\text{O}(\text{CH}_2)_{1-2}-\text{NH}_2$, H, or

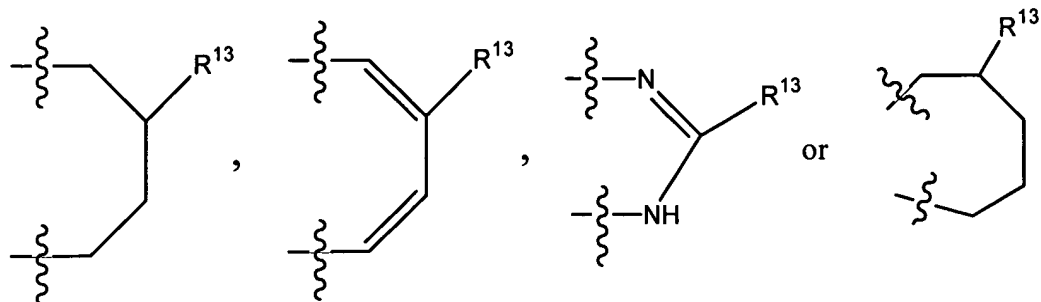


14. (original) A compound of Claim 13 wherein

R^3 represents H, OH, NH_2 , OC_{1-2} alkyl, C_{1-4} alkyl, $\text{O}-\text{CH}_2-\text{OCO}-\text{CH}_3$, $\text{NH}-\text{C}(\text{O})\text{CH}_3$, $\text{O}-\text{CH}_2-\text{CO}-\text{NH}_2$;

R^4 represents H, CH_3 , halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3 , R^3 and R^4 , or R^4 and R^5 can be taken together to form



15. (original) A compound of Claim 14 wherein

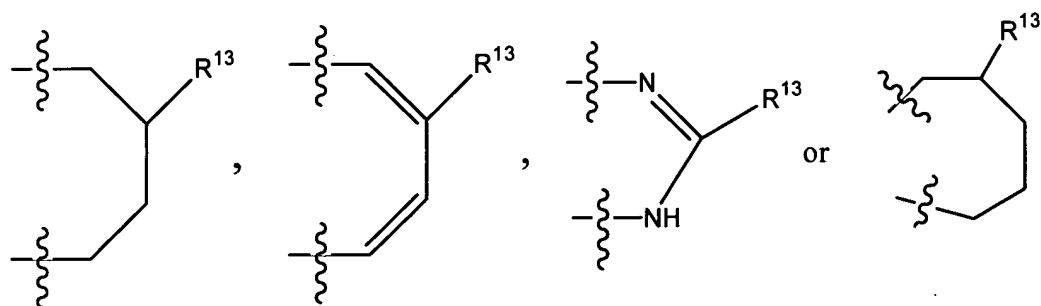
R^2 represents H or halogen;

R^3 represents H, OH or NH_2 ;

R^4 represents H, CH_3 , halogen or benzo[1,3]dioxol-5-yl;

R^5 represents H; and

R^3 and R^4 or taken together to form



16. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 10.

17. (original) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 13 or a pharmaceutically acceptable salt thereof.

Claims 18-31 (withdrawn)